(12) INTERNATIONAL APPLATION PUBLISHED UNDER THE PATENT COSPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 5 April 2001 (05.04.2001)

PCT

(10) International Publication Number WO 01/23346 A2

(51) International Patent Classification⁷: C07C 229/00

(21) International Application Number: PCT/EP00/09346

(22) International Filing Date:

25 September 2000 (25.09.2000)

(25) Filing Language:

English

(26) Publication Language:

English

- (30) Priority Data: 9922830.6 27 September 1999 (27.09.1999) GE
- (71) Applicant (for all designated States except AT, US): NO-VARTIS AG [CH/CH]; Schwarzwaldallee 215, D-4058 Basel (CH).
- (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): ACEMOGLU, Murat [CH/CH]; Grellingerstrasse 53, CH-4052 Basel (CH). ALLMENDINGER, Thomas [DE/DE]; Lettenweg 1a, 79539 Lörrach (DE). CALIENNI, John, Vincent [US/US]; 2 Edward Place, Cranford, NJ 07016 (US). CERCUS, Jacques [FR/FR]; Rue des Jardiniers 1 E, F-68170 Rixheim (FR). LOISELEUR, Olivier

[CH/FR]; 4, rue de Genève, F-68300 Saint-Louis (FR). SEDELMEIER, Gottfried [DE/DE]; Erlenweg 11, 79227 Schallstadt (DE). XU, David [US/US]; 12 Kathryn Drive, Whippany, NJ 07981 (US).

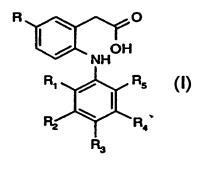
- (74) Agent: BECKER, Konrad; Novartis AG, Corporate Intellectual Property, Patent & Trademark Department, CH-4002 Basel (CH).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

 Without international search report and to be republished upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR PHENYLACETIC ACID DERIVATIVES



$$\begin{array}{c|c} R & O & \\ \hline R_1 & R_5 & (II) \\ \hline R_2 & R_4 & \\ \hline \end{array}$$

(57) Abstract: A process for the production of a compound f formula (I), or a pharmaceutically acceptable salt thereof, or a pharmaceutically acceptable prodrug ester thereof, comprising cleaving a lactam of formula (II) wherein the symbols are as defined, with a base; and precursors therefor and processes for the preparation of the precursors. The compounds of formula (I) are pharmaceutically active compounds which are selective inhibitors of Cyclooxygenase (II).